

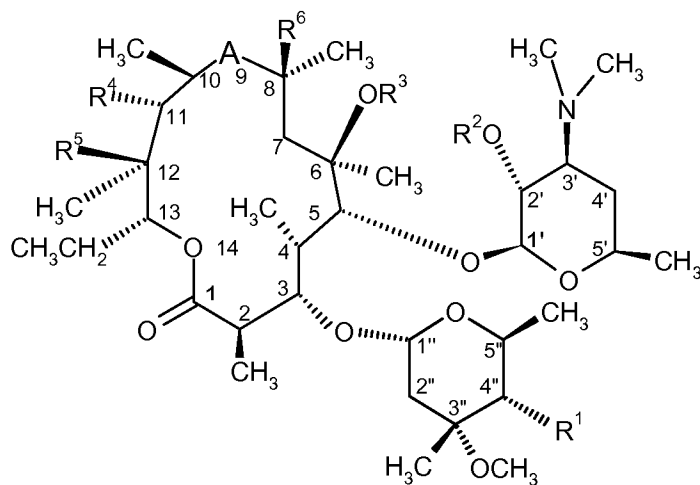
Serial No.: 10/556,645
Group Art Unit No.: 1623

Amendments to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application.

Listing of Claims:

1. (Currently amended) A compound of formula (I)



(I)

wherein

A is a bivalent radical selected from -C(O)-, -C(O)NH-, -NHC(O)-, -N(R⁷)-CH₂-, -CH₂-N(R⁷)-, -CH(NR⁸R⁹)- and -C(=NR¹⁰)-;

R¹ is -O(CH₂)_dXR¹¹;

R² is hydrogen or a hydroxyl protecting group;

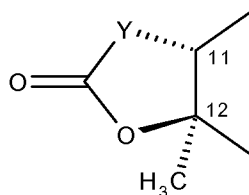
R³ is hydrogen, C₁₋₄alkyl, or C₃₋₆alkenyl optionally substituted by a 9 to 10 membered fused bicyclic heteroaryl;

R⁴ is hydroxy, C₃₋₆alkenyloxy optionally substituted by a 9 to 10 membered fused bicyclic heteroaryl, or C₁₋₆alkoxy optionally substituted by C₁₋₆alkoxy or -O(CH₂)_eNR⁷R¹²,

Serial No.: 10/556,645
Group Art Unit No.: 1623

R⁵ is hydroxy, or

R⁴ and R⁵ taken together with the intervening atoms form a cyclic group having the following structure:



wherein Y is a bivalent radical selected from the group consisting of -CH₂-, -CH(CN)-, -O-, -N(R¹³)- and -CH(SR¹³)-;

R⁶ is hydrogen or fluorine;

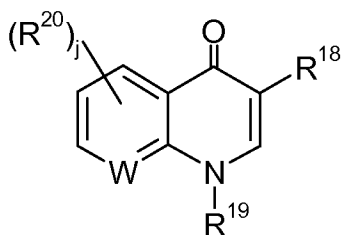
R⁷ is hydrogen or C₁₋₆alkyl;

R⁸ and R⁹ are each independently hydrogen, C₁₋₆alkyl, -C(=NR¹⁰)NR¹⁴R¹⁵ or -C(O)R¹⁴, or

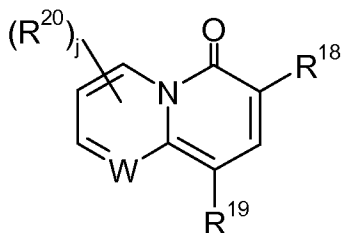
R⁸ and R⁹ together form =CH(CR¹⁴R¹⁵)_faryl, =CH(CR¹⁴R¹⁵)_fheterocyclyl, =CR¹⁴R¹⁵ or =C(R¹⁴)C(O)OR¹⁴, wherein the alkyl, aryl and heterocyclyl groups are optionally substituted by up to three groups independently selected from R¹⁶;

R¹⁰ is -OR¹⁷, C₁₋₆alkyl, -(CH₂)_garyl, -(CH₂)_gheterocyclyl or -(CH₂)_hO(CH₂)_iOR⁷, wherein each R¹⁰ group is optionally substituted by up to three groups independently selected from R¹⁶;

R¹¹ is a heterocyclic group having the following structure:



or



Serial No.: 10/556,645
Group Art Unit No.: 1623

R¹² is hydrogen or C₁₋₆alkyl;

R¹³ is hydrogen or C₁₋₄alkyl optionally substituted by ~~a group selected from an~~ optionally substituted phenyl, optionally substituted 5 or 6 membered heteroaryl ~~and or~~ optionally substituted 9 to 10 membered fused bicyclic heteroaryl;

R¹⁴ and R¹⁵ are each independently hydrogen or C₁₋₆alkyl;

R¹⁶ is halogen, cyano, nitro, trifluoromethyl, azido, -C(O)R²¹, -C(O)OR²¹, -OC(O)R²¹, -OC(O)OR²¹, -NR²²C(O)R²³, -C(O)NR²²R²³, -NR²²R²³, hydroxy, C₁₋₆alkyl, -S(O)_kC₁₋₆alkyl, C₁₋₆alkoxy, -(CH₂)_maryl or -(CH₂)_mheteroaryl, wherein the alkoxy group is optionally substituted by up to three groups independently selected from the group consisting of -NR¹⁴R¹⁵, halogen and -OR¹⁴, and the aryl and heteroaryl groups are optionally substituted by up to five groups independently selected from the group consisting of halogen, cyano, nitro, trifluoromethyl, azido, -C(O)R²⁴, -C(O)OR²⁴, -OC(O)OR²⁴, -NR²⁵C(O)R²⁶, -C(O)NR²⁵R²⁶, -NR²⁵R²⁶, hydroxy, C₁₋₆alkyl and C₁₋₆alkoxy;

R¹⁷ is hydrogen, C₁₋₆alkyl, C₃₋₇cycloalkyl, C₃₋₆alkenyl or a 5 or 6 membered heterocyclic group, wherein the alkyl, cycloalkyl, alkenyl and heterocyclic groups are optionally substituted by up to three substituents independently selected from the group consisting of optionally substituted 5 or 6 membered heterocyclic ~~group~~, optionally substituted 5 or 6 membered heteroaryl, -OR²⁷, -S(O)_nR²⁷, -NR²⁷R²⁸, -CONR²⁷R²⁸, halogen and cyano;

R¹⁸ is hydrogen, -C(O)OR²⁹, -C(O)NHR²⁹, -C(O)CH₂NO₂ or -C(O)CH₂SO₂R⁷;

R¹⁹ is hydrogen, C₁₋₄alkyl optionally substituted by hydroxy or C₁₋₄alkoxy, C₃₋₇cycloalkyl, or optionally substituted phenyl or benzyl;

R²⁰ is halogen, C₁₋₄alkyl, C₁₋₄thioalkyl, C₁₋₄alkoxy, -NH₂, -NH(C₁₋₄alkyl) or -N(C₁₋₄alkyl)₂;

R²¹ is hydrogen, C₁₋₁₀alkyl, -(CH₂)_paryl or -(CH₂)_pheteroaryl;

R²² and R²³ are each independently hydrogen, -OR¹⁴, C₁₋₆alkyl, -(CH₂)_qaryl or -(CH₂)_qheterocyclyl;

R²⁴ is hydrogen, C₁₋₁₀alkyl, -(CH₂)_raryl or -(CH₂)_rheteroaryl;

R²⁵ and R²⁶ are each independently hydrogen, -OR¹⁴, C₁₋₆alkyl, -(CH₂)_saryl or -(CH₂)_sheterocyclyl;

Serial No.: 10/556,645
Group Art Unit No.: 1623

R²⁷ and R²⁸ are each independently hydrogen, C₁₋₄alkyl or C₁₋₄alkoxyC₁₋₄alkyl;

R²⁹ is hydrogen,

C₁₋₆alkyl optionally substituted by up to three groups independently selected from the group consisting of halogen, cyano, C₁₋₄alkoxy optionally substituted by phenyl or C₁₋₄alkoxy, -C(O)C₁₋₆alkyl, -C(O)OC₁₋₆alkyl, -OC(O)C₁₋₆alkyl, -OC(O)OC₁₋₆alkyl, -C(O)NR³²R³³, -NR³²R³³ and phenyl optionally substituted by nitro or -C(O)OC₁₋₆alkyl,

-(CH₂)_wC₃₋₇cycloalkyl,

-(CH₂)_wheterocyclyl,

-(CH₂)_wheteroaryl,

-(CH₂)_waryl,

C₃₋₆alkenyl, or

C₃₋₆alkynyl;

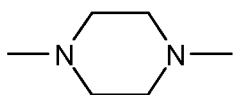
R³⁰ is hydrogen, C₁₋₄alkyl, C₃₋₇cycloalkyl, optionally substituted phenyl or benzyl, acetyl or benzoyl;

R³¹ is hydrogen or R²⁰, or R³¹ and R¹⁹ are linked to form the bivalent radical -O(CH₂)₂- or -(CH₂)_t;

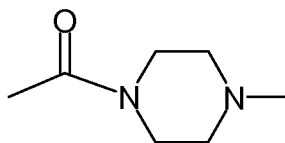
R³² and R³³ are each independently hydrogen or C₁₋₆alkyl optionally substituted by phenyl or -C(O)OC₁₋₆alkyl, or

R³² and R³³, together with the nitrogen atom to which they are bound, form a 5 or 6 membered heterocyclic group optionally containing one additional heteroatom selected from oxygen, nitrogen and sulfur;

X is -U(CH₂)_vB-, -U(CH₂)_v- or a group selected from:



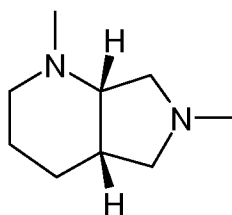
,



,

Serial No.: 10/556,645
Group Art Unit No.: 1623

and



U and B are independently a divalent radical selected from $-N(R^{30})-$, $-O-$, $-S(O)_Z-$, $-N(R^{30})C(O)-$, $-C(O)N(R^{30})-$ and $-N[C(O)R^{30}]-$;

W is $-C(R^{31})-$ or a nitrogen atom;

d is an integer from 2 to 6;

e is an integer from 2 to 4;

f, g, h, m, p, q, r, s and w are each independently integers from 0 to 4;

i is an integer from 1 to 6;

j, k, n and z are each independently integers from 0 to 2;

t is 2 or 3;

v is an integer from 1 to 8;

or a pharmaceutically acceptable ~~derivative~~ salt thereof.

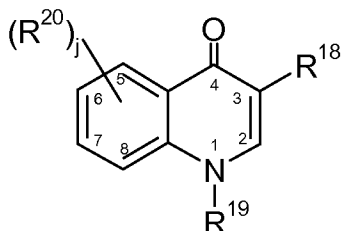
2. (Currently amended) A compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein A is $-C(O)-$ or $-N(R^7)-CH_2-$.

3. (Currently amended) A compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein X is $-U(CH_2)_V B-$ or $-U(CH_2)_V$.

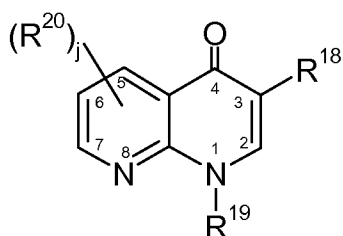
4. (Currently amended) A compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein d is 2 or 3.

Serial No.: 10/556,645
Group Art Unit No.: 1623

5. (Currently amended) A compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein R^{11} is a heterocyclic group of the following formula:

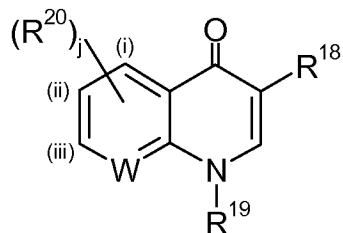


or



wherein the heterocyclic is linked in the 6 or 7 position and j , R^{18} , R^{19} and R^{20} are as defined in claim 1;

a heterocyclic group of the following formula:

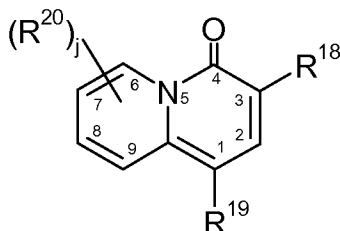


wherein the heterocyclic is linked in the (ii) or (iii) position, W is $-C(R^{31})-$ and R^{31} and R^{19} are linked to form the bivalent radical $-(CH_2)_t-$ as defined in claim 1, and j , R^{18} , R^{19} and R^{20} are as

Serial No.: 10/556,645
Group Art Unit No.: 1623

defined in claim 1; or

a heterocyclic group of the following formula:



wherein the heterocyclic is linked in the 7 or 8 position and j, R¹⁸, R¹⁹ and R²⁰ are as defined in claim 1.

6. (Canceled)

7. (Currently amended): A compound selected from:

4''-O-(2-{[2-(3-carboxy-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinolin-7-ylamino)-ethyl]-methylamino}-ethyl)-6-O-methyl-erythromycin A 11,12-carbonate;

4''-O-(3-{[2-(3-carboxy-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinolin-7-ylamino)ethyl]-methylamino}-propyl)-6-O-methyl-erythromycin A 11,12-carbonate;

4''-O-{3-[2-(2-carboxy-1-oxo-6,7-dihydro-1*H*,5*H*-pyrido[3,2,1-*ij*]quinoline-9-yloxy)-ethylamino]-propyl}-6-O-methyl-erythromycin A 11,12-carbonate;

4''-O-(3-{[3-(3-carboxy-1-ethyl-4-oxo-1,4-dihydro-quinolin-6-yl)propyl]-methylamino}-propyl)-6-O-methyl-erythromycin A 11,12-carbonate;

4''-O-(3-{[2-(3-carboxy-1-ethyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridin-7-ylamino)ethyl]-methylamino}-propyl)-6-O-methyl-erythromycin A 11,12-carbonate;

4''-O-{2-[2-(3-carboxy-1-ethyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridin-7-ylamino)ethyl]-methylamino}-ethyl}-6-O-methyl-erythromycin A;

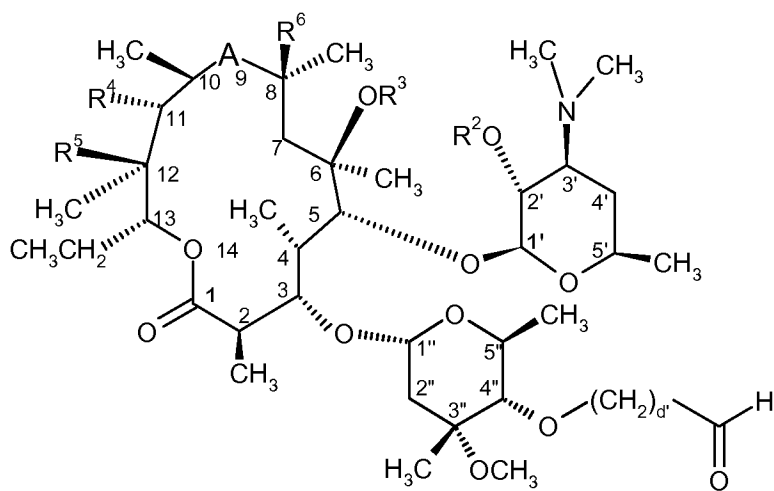
4''-O-{3-[[3-(3-carboxy-1-ethyl-4-oxo-1,4-dihydro-quinolin-6-yl)-propyl]-methylamino]-propyl}-6-O-methyl-11-desoxy-11-(*R*)-amino-erythromycin A 11,12-carbamate;

Serial No.: 10/556,645
Group Art Unit No.: 1623

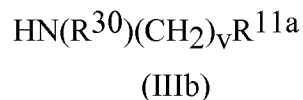
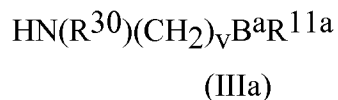
4''-O-{3-[[2-(3-carboxy-1-ethyl-4-oxo-1,4-dihydro-quinolin-6-ylsulfanyl)-ethyl]-methylamino]-propyl}-6-O-methyl-11-desoxy-11-(R)-amino-erythromycin A 11,12-carbamate;
4''-O-{3-[2-(3-carboxy-7-chloro-1-cyclopropyl-4-oxo-1,4-dihydro-quinolin-6-ylamino)-ethylcarbamoyl]-propyl}-azithromycin;
4''-O-{2-[2-(3-carboxy-6-fluoro-1-cyclopropyl-4-oxo-1,4-dihydro-quinolin-7-ylamino)-ethylamino]-ethyl}-azithromycin 11,12-cyclic carbonate;
4''-O-{2-[2-(3-carboxy-7-chloro-1-cyclopropyl-4-oxo-1,4-dihydro-quinolin-6-ylamino)-ethylamino]-ethyl}-azithromycin; and
4''-O-{2-[2-(3-carboxy-6-fluoro-1-cyclopropyl-4-oxo-1,4-dihydro-quinolin-7-ylamino)-ethylamino]-ethyl}-azithromycin;
or a pharmaceutically acceptable ~~derivative~~ salt thereof.

8. (Currently amended) A process for the preparation of a compound as claimed in claim 1 which comprises:

a) reacting a compound of formula (II)

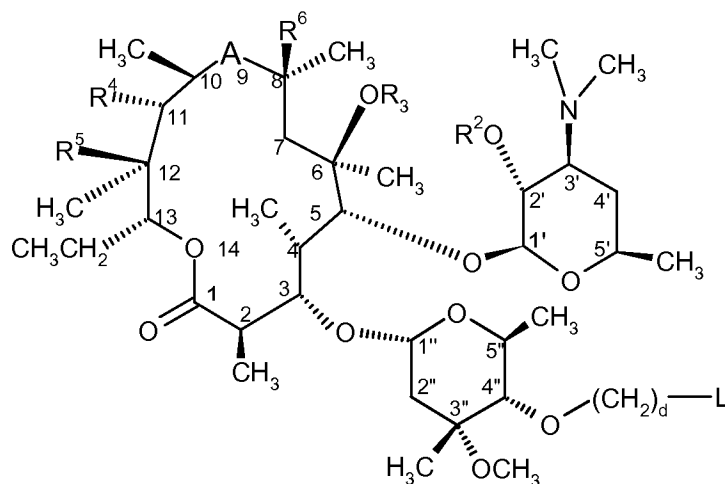


Serial No.: 10/556,645
Group Art Unit No.: 1623



with a suitable amine (IIIa) or (IIIb), wherein B^a and R^{11a} are B and R^{11} as defined in claim 1 or groups convertible to B and R^{11} ;

b) reacting a compound of formula (V)



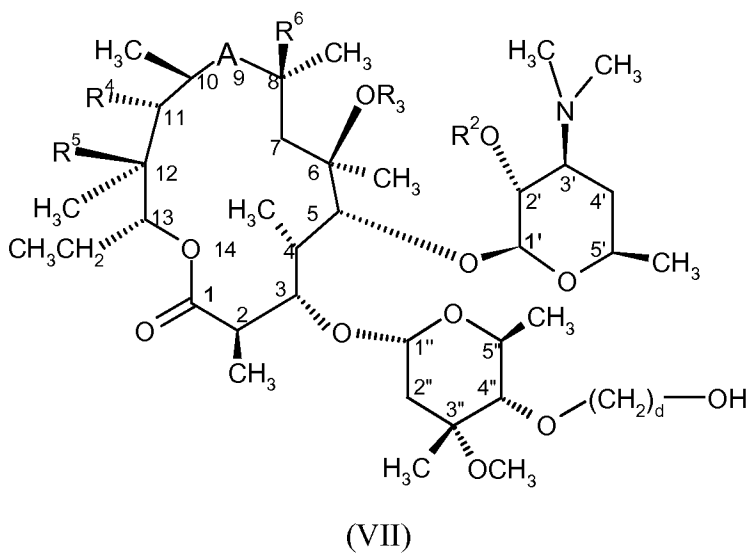
(V)

with a compound of formula X^aR^{11a} (IV), wherein R^{11a} is R^{11} as defined in claim 1 or a group convertible to R^{11} and X^a is $-\text{U}(\text{CH}_2)_v-$ or $-\text{U}(\text{CH}_2)_v\text{B}-$, or a group convertible to $-\text{U}(\text{CH}_2)_v-$ or $-\text{U}(\text{CH}_2)_v\text{B}-$, in which U is a group selected from $-\text{N}(\text{R}^{30})-$ and $-\text{S}-$, and L is suitable leaving group, to produce a compound of formula (I) wherein U is a group selected from $-\text{N}(\text{R}^{30})-$ and $-\text{S}-$;

c) converting one compound of formula (I) into another compound of formula (I); oxidizing a compound of formula (I) wherein U or B is $-\text{S}(\text{O})_z$ and wherein z is 0 to provide a compound of formula (I) wherein U or B is $-\text{S}(\text{O})_z$ and z is 1 or 2;

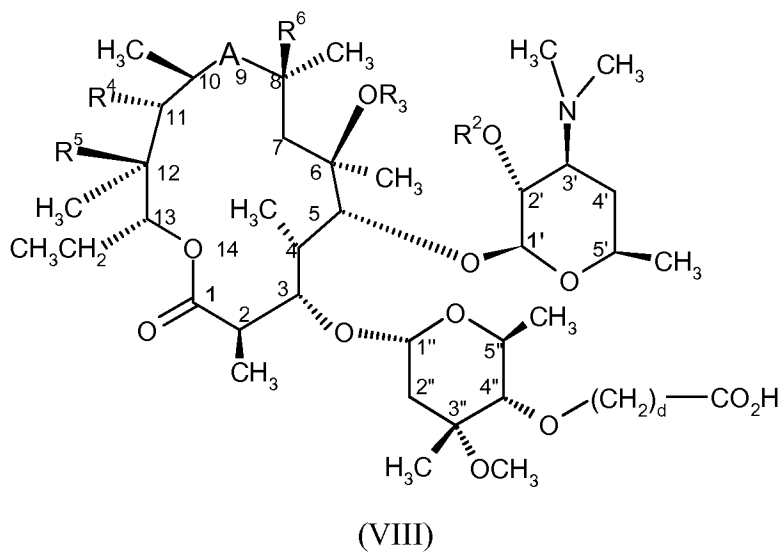
Serial No.: 10/556,645
Group Art Unit No.: 1623

d) where U is -O-, reacting a compound of formula (VII)



with a suitable compound of formula X^aR¹1a in the presence of a catalyst; or

e) where U is -C(O)N(R³⁰)-, reacting a compound of formula (VIII)



with a suitable amine compound,

Serial No.: 10/556,645
Group Art Unit No.: 1623

and thereafter, if required, subjecting the resulting compound to one or more of the following operations:

- i) removal of the protecting group R^2 ,
- ii) conversion of X^aR^{11a} to XR^{11} ,
- iii) conversion of B^aR^{11a} to R^{11} ,
- iv) conversion of R^{11a} to R^{11} ,

and

- v) conversion of the resultant compound of formula (I) into a pharmaceutically acceptable ~~derivative~~ salt thereof.

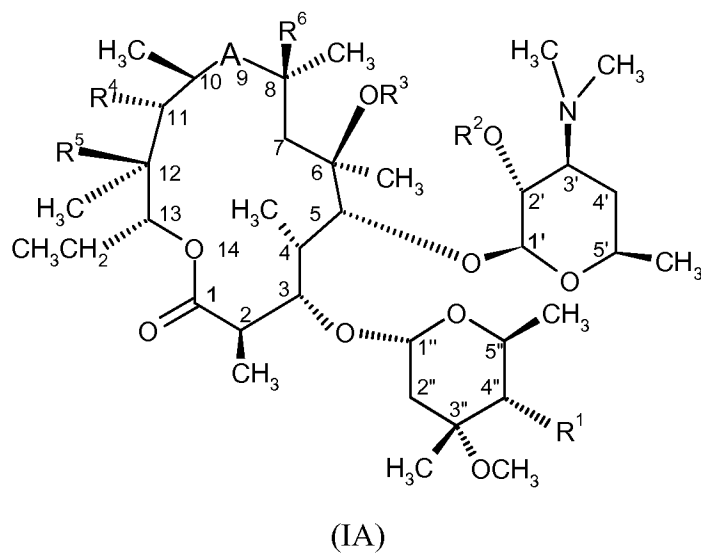
9-11. (Canceled)

12. (Currently amended) A method for the treatment of the human or non-human animal body to combat ~~microbial~~ a bacterial infection comprising administration to a body in need of such treatment of an effective amount of a compound as claimed in claim 1 or a pharmaceutically acceptable salt thereof.

13. (Currently amended) A pharmaceutical composition comprising at least one compound as claimed in claim 1, or a pharmaceutically acceptable salt thereof, in association with a pharmaceutically acceptable excipient, diluent ~~and~~/or carrier.

Serial No.: 10/556,645
Group Art Unit No.: 1623

14. (Currently amended) A compound of formula (IA)



(IA)

wherein

A is a bivalent radical selected from $-C(O)-$, $-C(O)NH-$, $-NHC(O)-$, $-N(R^7)-CH_2-$, $-CH_2-N(R^7)-$, $-CH(NR^8R^9)-$ and $-C(=NR^{10})-$;

R^1 is $-O(CH_2)_dXR^{11}$;

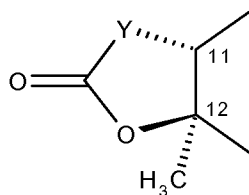
R^2 is hydrogen or a hydroxyl protecting group;

R^3 is hydrogen, C_{1-4} alkyl, or C_{3-6} alkenyl optionally substituted by a 9 to 10 membered fused bicyclic heteroaryl;

R^4 is hydroxy, C_{3-6} alkenyloxy optionally substituted by a 9 to 10 membered fused bicyclic heteroaryl, or C_{1-6} alkoxy optionally substituted by C_{1-6} alkoxy or $-O(CH_2)_eNR^7R^{12}$,

R^5 is hydroxy, or

R^4 and R^5 taken together with the intervening atoms form a cyclic group having the following structure:



Serial No.: 10/556,645
Group Art Unit No.: 1623

wherein Y is a bivalent radical selected from the group consisting of -CH₂-, -CH(CN)-, -O-, -N(R¹³)- and -CH(SR¹³)-;

R⁶ is hydrogen or fluorine;

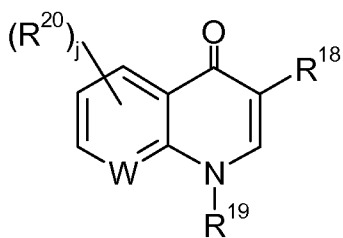
R⁷ is hydrogen or C₁₋₆alkyl;

R⁸ and R⁹ are each independently hydrogen, C₁₋₆alkyl, -C(=NR¹⁰)NR¹⁴R¹⁵ or -C(O)R¹⁴, or

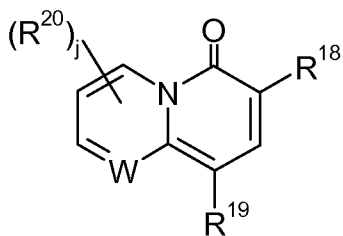
R⁸ and R⁹ together form =CH(CR¹⁴R¹⁵)_faryl, =CH(CR¹⁴R¹⁵)_fheterocyclyl, =CR¹⁴R¹⁵ or =C(R¹⁴)C(O)OR¹⁴, wherein the alkyl, aryl and heterocyclyl groups are optionally substituted by up to three groups independently selected from R¹⁶;

R¹⁰ is -OR¹⁷, C₁₋₆alkyl, -(CH₂)_garyl, -(CH₂)_gheterocyclyl or -(CH₂)_hO(CH₂)_iOR⁷, wherein each R¹⁰ group is optionally substituted by up to three groups independently selected from R¹⁶;

R¹¹ is a heterocyclic group having the following structure:



or



R¹² is hydrogen or C₁₋₆alkyl;

R¹³ is hydrogen or C₁₋₄alkyl substituted by a group selected from the group consisting of optionally substituted phenyl, optionally substituted 5 or 6 membered heteroaryl and optionally substituted 9 to 10 membered fused bicyclic heteroaryl;

R¹⁴ and R¹⁵ are each independently hydrogen or C₁₋₆alkyl;

Serial No.: 10/556,645
Group Art Unit No.: 1623

R¹⁶ is halogen, cyano, nitro, trifluoromethyl, azido, -C(O)R²¹, -C(O)OR²¹, -OC(O)R²¹, -OC(O)OR²¹, -NR²²C(O)R²³, -C(O)NR²²R²³, -NR²²R²³, hydroxy, C₁₋₆alkyl, -S(O)_kC₁₋₆alkyl, C₁₋₆alkoxy, -(CH₂)_maryl or -(CH₂)_mheteroaryl, wherein the alkoxy group is optionally substituted by up to three groups independently selected from the group consisting of -NR¹⁴R¹⁵, halogen and -OR¹⁴, and the aryl and heteroaryl groups are optionally substituted by up to five groups independently selected from the group consisting of halogen, cyano, nitro, trifluoromethyl, azido, -C(O)R²⁴, -C(O)OR²⁴, -OC(O)OR²⁴, -NR²⁵C(O)R²⁶, -C(O)NR²⁵R²⁶, -NR²⁵R²⁶, hydroxy, C₁₋₆alkyl and C₁₋₆alkoxy;

R¹⁷ is hydrogen, C₁₋₆alkyl, C₃₋₇cycloalkyl, C₃₋₆alkenyl or a 5 or 6 membered heterocyclic group, wherein the alkyl, cycloalkyl, alkenyl and heterocyclic groups are optionally substituted by up to three substituents independently selected from the group consisting of optionally substituted 5 or 6 membered heterocyclic group, optionally substituted 5 or 6 membered heteroaryl, -OR²⁷, -S(O)_nR²⁷, -NR²⁷R²⁸, -CONR²⁷R²⁸, halogen and cyano;

R¹⁸ is hydrogen, -C(O)OR²⁹, -C(O)NHR²⁹ or -C(O)CH₂NO₂;

R¹⁹ is hydrogen, C₁₋₄alkyl optionally substituted by hydroxy or C₁₋₄alkoxy, C₃₋₇cycloalkyl, or optionally substituted phenyl or benzyl;

R²⁰ is halogen, C₁₋₄alkyl, C₁₋₄thioalkyl, C₁₋₄alkoxy, -NH₂, -NH(C₁₋₄alkyl) or -N(C₁₋₄alkyl)₂;

R²¹ is hydrogen, C₁₋₁₀alkyl, -(CH₂)_paryl or -(CH₂)_pheteroaryl;

R²² and R²³ are each independently hydrogen, -OR¹⁴, C₁₋₆alkyl, -(CH₂)_qaryl or -(CH₂)_qheterocyclyl;

R²⁴ is hydrogen, C₁₋₁₀alkyl, -(CH₂)_raryl or -(CH₂)_rheteroaryl;

R²⁵ and R²⁶ are each independently hydrogen, -OR¹⁴, C₁₋₆alkyl, -(CH₂)_saryl or -(CH₂)_sheterocyclyl;

R²⁷ and R²⁸ are each independently hydrogen, C₁₋₄alkyl or C₁₋₄alkoxyC₁₋₄alkyl;

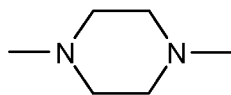
R²⁹ is hydrogen or C₁₋₆alkyl optionally substituted by up to three groups independently selected from the group consisting of halogen, C₁₋₄alkoxy, -OC(O)C₁₋₆alkyl and -OC(O)OC₁₋₆alkyl;

R³⁰ is hydrogen, C₁₋₄alkyl, C₃₋₇cycloalkyl, optionally substituted phenyl or benzyl, acetyl or benzoyl;

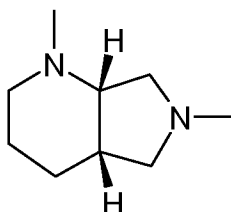
Serial No.: 10/556,645
Group Art Unit No.: 1623

R^{31} is hydrogen or R^{20} , or R^{31} and R^{19} are linked to form the bivalent radical $-(CH_2)_2-$ or $-(CH_2)_t-$;

X is $-U(CH_2)_vB-$, $-U(CH_2)_v-$ or a group selected from:



and



U and B are independently a divalent radical selected from $-N(R^{30})-$, $-O-$, $-S(O)_z-$, $-N(R^{30})C(O)-$, $-C(O)N(R^{30})-$ and $-N[C(O)R^{30}]-$;

W is $-C(R^{31})-$ or a nitrogen atom;

d is an integer from 2 to 6;

e is an integer from 2 to 4;

f, g, h, m, p, q, r and s are each independently integers from 0 to 4;

i is an integer from 1 to 6;

j, k, n and z are each independently integers from 0 to 2;

t is 2 or 3;

v is an integer from 2 to 8;

or a pharmaceutically acceptable derivative salt thereof.